Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTANSC1625

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

FILE 'HOME' ENTERED AT 17:51:35 ON 04 APR 2007

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:51:48 ON 04 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 APR 2007 HIGHEST RN 929074-02-2
DICTIONARY FILE UPDATES: 3 APR 2007 HIGHEST RN 929074-02-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

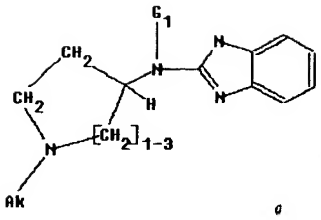
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

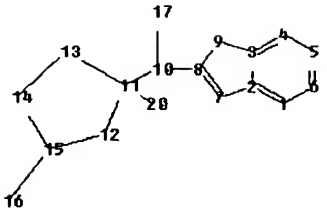
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\niz596519.str





chain nodes :
10 16 17 20
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

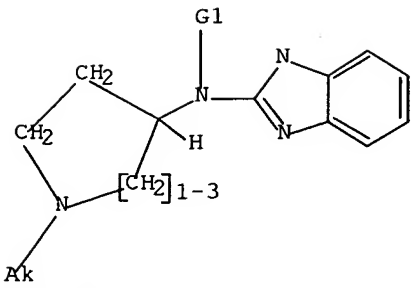
exact/norm bonds :
2-7 3-9 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

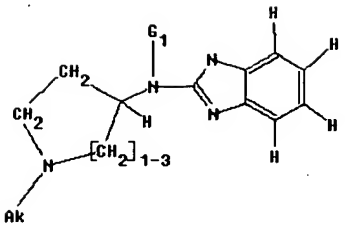
=> d l1
L1 HAS NO ANSWERS
L1 STR

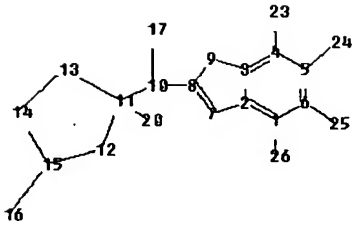


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s sss l1 sam
SAMPLE SEARCH INITIATED 17:52:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      295 TO ITERATE

100.0% PROCESSED      295 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          4870 TO     6930
PROJECTED ANSWERS:             1882 TO     3238

L2           50 SEA SSS SAM L1

=> s sss l1 full
FULL SEARCH INITIATED 17:52:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5804 TO ITERATE

100.0% PROCESSED     5804 ITERATIONS                      2380 ANSWERS
SEARCH TIME: 00.00.01

L3         2380 SEA SSS FUL L1

=> save l3 n596519A/A
ANSWER SET L3 HAS BEEN SAVED AS 'N596519A/A'

=>
Uploading C:\Program Files\Stnexp\Queries\niz596519A.str
```





chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

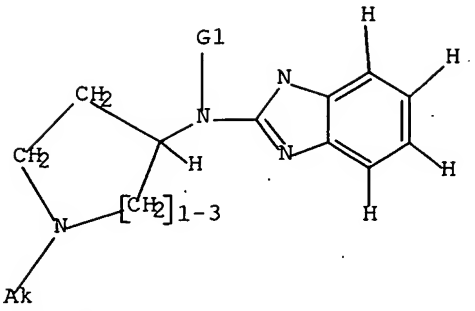
exact/norm bonds :
2-7 3-9 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
1-26 4-23 5-24 6-25 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L4 STRUCTURE UPLOADED

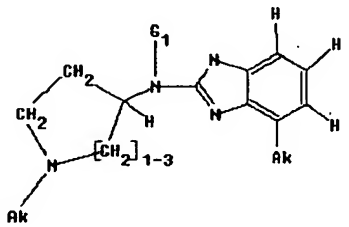
=> d l4
L4 HAS NO ANSWERS
L4 STR

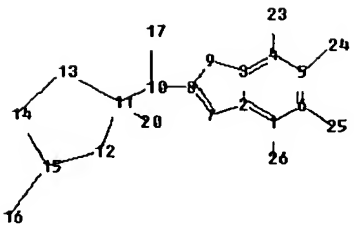


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l4 subset=l3 full
FULL SUBSET SEARCH INITIATED 17:55:21 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -     2380 TO ITERATE

100.0% PROCESSED     2380 ITERATIONS                          1890 ANSWERS
SEARCH TIME: 00.00.01

L5          1890 SEA SUB=L3 SSS FUL L4

=> s l3 not l5
L6          490 L3 NOT L5

=> save l6 n596519B/A
ANSWER SET L6 HAS BEEN SAVED AS 'N596519B/A'

=>
Uploading C:\Program Files\Stnexp\Queries\niz596519B.str
```





```
chain nodes :
10  16  17  20  23  24  25  26
ring nodes :
1  2  3  4  5  6  7  8  9  11  12  13  14  15
chain bonds :
```

1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

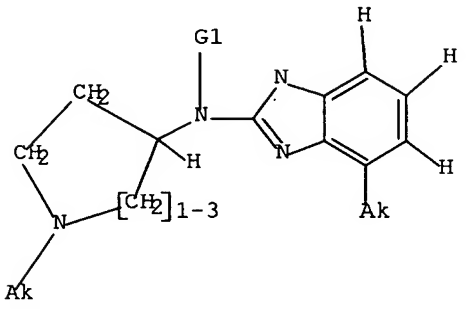
exact/norm bonds :
1-26 2-7 3-9 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
4-23 5-24 6-25 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L7 STRUCTURE UPLOADED

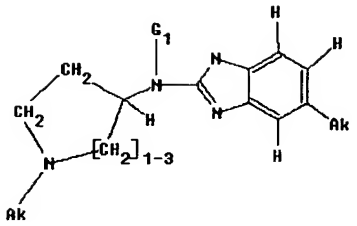
=> d l7
L7 HAS NO ANSWERS
L7 STR

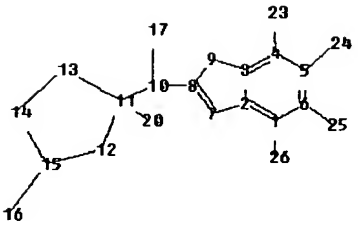


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\niz596519C.str





chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

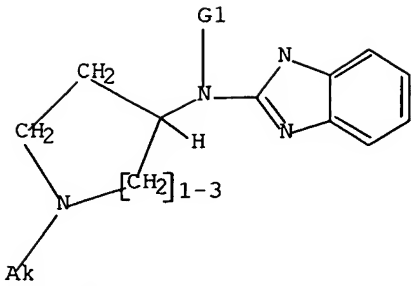
exact/norm bonds :
2-7 3-9 6-25 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
1-26 4-23 5-24 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L9 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L1 STR

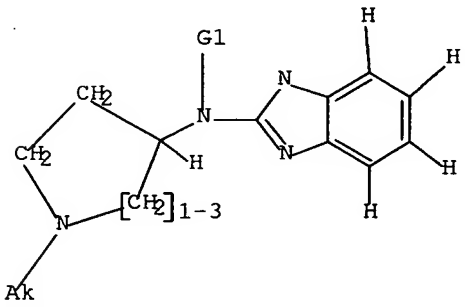


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

L3 2380 SEA FILE=REGISTRY SSS FUL L1

L4 STR

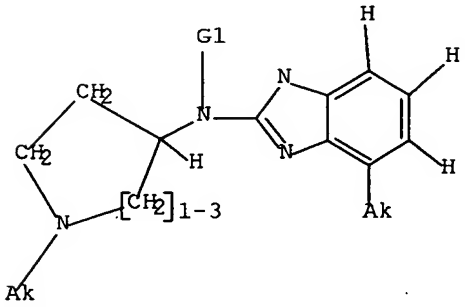


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

L5 1890 SEA FILE=REGISTRY SUB=L3 SSS FUL L4

L7 STR



G1 H,Ak

L14 97 SEA SUB=L3 SSS FUL L7

=>
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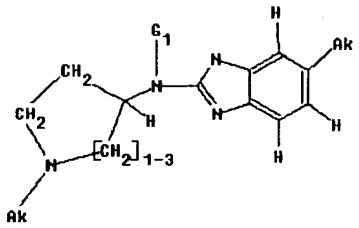
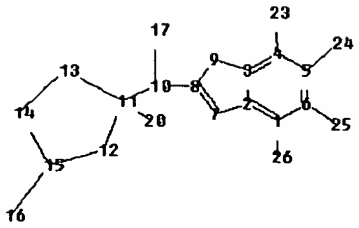




chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

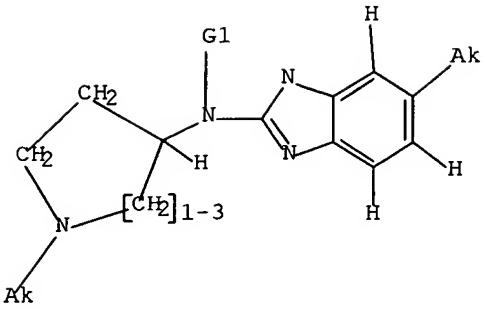
exact/norm bonds :
2-7 3-9 5-24 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
1-26 4-23 6-25 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L15 STRUCTURE UPLOADED

=> d l15
L15 HAS NO ANSWERS
L15 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l15 subset=l3 full
FULL SUBSET SEARCH INITIATED 18:09:48 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2379 TO ITERATE

100.0% PROCESSED 2379 ITERATIONS 171 ANSWERS
SEARCH TIME: 00.00.01

L16 171 SEA SUB=L3 SSS FUL L15

=>
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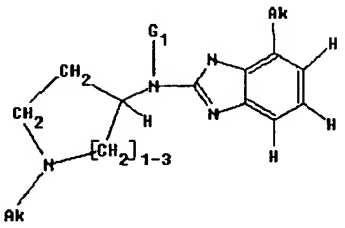
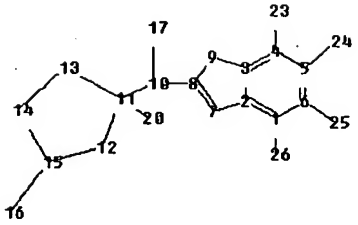




chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

exact/norm bonds :
2-7 3-9 4-23 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :

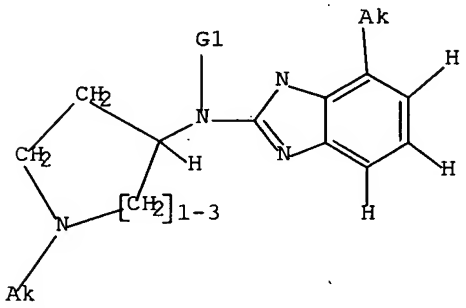
1-26 5-24 6-25 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L17 STRUCTURE UPLOADED

=> d l17
L17 HAS NO ANSWERS
L17 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l17 subset=l3 full
FULL SUBSET SEARCH INITIATED 18:11:05 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2379 TO ITERATE

100.0% PROCESSED 2379 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

L18 6 SEA SUB=L3 SSS FUL L17

=>
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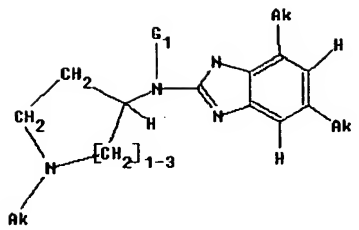
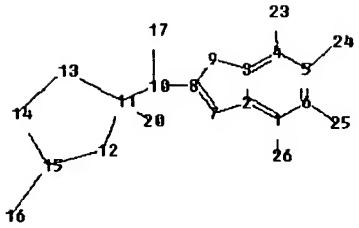




chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

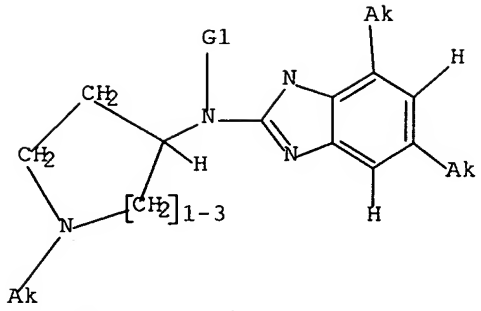
exact/norm bonds :
2-7 3-9 4-23 6-25 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :
1-26 5-24 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L19 STRUCTURE UPLOADED

=> d l19
L19 HAS NO ANSWERS
L19 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l19 subset=l3 full
FULL SUBSET SEARCH INITIATED 18:12:35 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2377 TO ITERATE

100.0% PROCESSED 2377 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

L20 5 SEA SUB=L3 SSS FUL L19

=>
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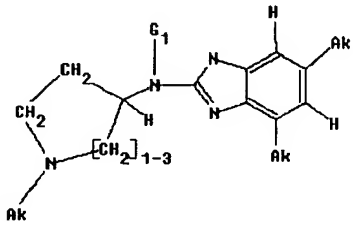
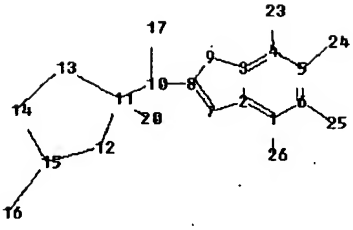




chain nodes :
10 16 17 20 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
1-26 4-23 5-24 6-25 8-10 10-11 10-17 11-20 15-16
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-13 12-15 13-14 14-15

exact/norm bonds :
1-26 2-7 3-9 5-24 7-8 8-9 8-10 10-11 10-17 15-16
exact bonds :

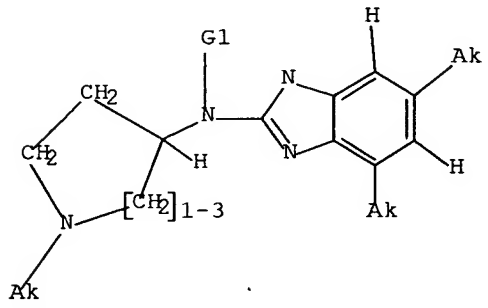
4-23 6-25 11-12 11-13 11-20 12-15 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS

L21 STRUCTURE UPLOADED

=> d l21
L21 HAS NO ANSWERS
L21 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l21 subset=l3 full
FULL SUBSET SEARCH INITIATED 18:14:38 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2377 TO ITERATE

100.0% PROCESSED 2377 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

L22 24 SEA SUB=L3 SSS FUL L21

=> d his

(FILE 'HOME' ENTERED AT 17:51:35 ON 04 APR 2007)

FILE 'REGISTRY' ENTERED AT 17:51:48 ON 04 APR 2007
L1 STRUCTURE UPLOADED
L2 50 S SSS L1 SAM

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L3          2380 S SSS L1 FULL
                 SAVE L3 N596519A/A
L4               STRUCTURE UPLOADED
L5          1890 S L4 FULL SUB=L3
L6           490 S L3 NOT L5
                 SAVE L6 N596519B/A
L7               STRUCTURE UPLOADED
L8             0 S L7 FULL SUB=L5
L9               STRUCTURE UPLOADED
L10           97 S L8 FULL SUB=L6
L11           97 S L7 FULL SUB=L6
L12           97 S L8 FULL SUB=L6
L13           97 S L8  SUB=L3 FULL
L14           97 S L7 FULL SUB=L3
L15              STRUCTURE UPLOADED
L16          171 S L15 FULL SUB=L3
L17              STRUCTURE UPLOADED
L18            6 S L17 FULL SUB=L3
L19              STRUCTURE UPLOADED
L20            5 S L19 FULL SUB=L3
L21              STRUCTURE UPLOADED
L22           24 S L21 FULL SUB=L3


=> d 19
L9 HAS NO ANSWERS
L9                STR
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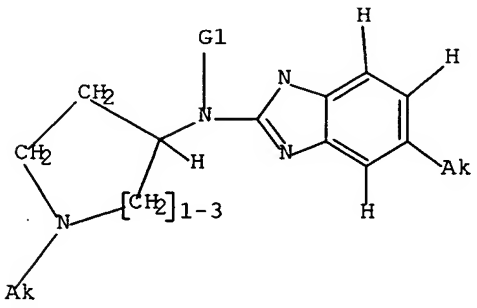

G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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=> s l9 subset=l3 full
FULL SUBSET SEARCH INITIATED 18:28:24 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -     2379 TO ITERATE

100.0% PROCESSED     2379 ITERATIONS                          57 ANSWERS
SEARCH TIME: 00.00.01

L23           57 SEA SUB=L3 SSS FUL L9
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=> save l14 n596519c/A
ANSWER SET L14 HAS BEEN SAVED AS 'N596519C/A'

=> save l23 n596519d/A
ANSWER SET L23 HAS BEEN SAVED AS 'N596519D/A'


=> save l16 n596519dd/A
ANSWER SET L16 HAS BEEN SAVED AS 'N596519DD/A'

=> save l18 n596519e/A
ANSWER SET L18 HAS BEEN SAVED AS 'N596519E/A'

=> save l20 n596519f/A
ANSWER SET L20 HAS BEEN SAVED AS 'N596519F/A'

=> save l22 n596519g/A
ANSWER SET L22 HAS BEEN SAVED AS 'N596519G/A'


=> fil stng
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      48.75     687.02

FILE 'STNGUIDE' ENTERED AT 18:39:04 ON 04 APR 2007
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 30, 2007 (20070330/UP).

=> d his

     (FILE 'HOME' ENTERED AT 17:51:35 ON 04 APR 2007)

     FILE 'REGISTRY' ENTERED AT 17:51:48 ON 04 APR 2007
L1             STRUCTURE UPLOADED
L2            50 S SSS L1 SAM
L3          2380 S SSS L1 FULL
               SAVE L3 N596519A/A
L4             STRUCTURE UPLOADED
L5          1890 S L4 FULL SUB=L3
L6           490 S L3 NOT L5
               SAVE L6 N596519B/A
L7             STRUCTURE UPLOADED
L8             0 S L7 FULL SUB=L5
L9             STRUCTURE UPLOADED
L10           97 S L8 FULL SUB=L6
L11           97 S L7 FULL SUB=L6
L12           97 S L8 FULL SUB=L6
L13           97 S L8  SUB=L3 FULL
L14           97 S L7 FULL SUB=L3
L15            STRUCTURE UPLOADED
L16          171 S L15 FULL SUB=L3
L17            STRUCTURE UPLOADED
L18            6 S L17 FULL SUB=L3
```

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L19             STRUCTURE UPLOADED
L20           5 S L19 FULL SUB=L3
L21             STRUCTURE UPLOADED
L22          24 S L21 FULL SUB=L3

     FILE 'STNGUIDE' ENTERED AT 18:15:41 ON 04 APR 2007

     FILE 'STNGUIDE' ENTERED AT 18:20:41 ON 04 APR 2007

     FILE 'REGISTRY' ENTERED AT 18:28:19 ON 04 APR 2007
L23          57 S L9 FULL SUB=L3
               SAVE L14 N596519C/A
               SAVE L23 N596519D/A
               SAVE L16 N596519DD/A
               SAVE L18 N596519E/A
               SAVE L20 N596519F/A
               SAVE L22 N596519G/A


=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.30     687.32

FILE 'CAPLUS' ENTERED AT 18:42:01 ON 04 APR 2007
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FILE COVERS 1907 - 4 Apr 2007  VOL 146 ISS 15
FILE LAST UPDATED: 3 Apr 2007  (20070403/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l14
L24          14 L14

=> d ibib abs hitstr

L24  ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2006:647626  CAPLUS  Full-text
DOCUMENT NUMBER:         145:224185
TITLE:                   Cold virus fusion or stopping fusion cold - inhibitors
                         of the human respiratory syncytial virus F protein
AUTHOR(S):               Del Vecchio, Alfred M.; Sarisky, Robert T.
CORPORATE SOURCE:        Infectious Diseases Research, Centocor, Inc., Radnor,
```

PA, 19087, USA

SOURCE: Recent Patents on Anti-Infective Drug Discovery (2006), 1(2), 247-254
CODEN: RPADCX; ISSN: 1574-891X

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review

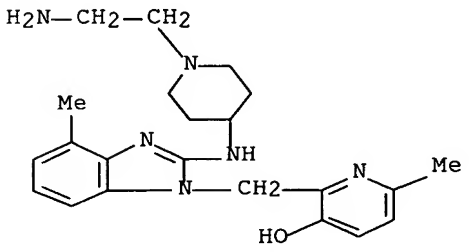
LANGUAGE: English

AB A review. Human respiratory syncytial virus (HRSV) is a major respiratory viral pathogen causing moderate to severe upper and lower respiratory tract infections in all ages and across a wide range of patient populations. There are no currently approved vaccines and although a number of candidates are in various stages of development, the challenges are quite substantial. Presently, only a single agent is approved for HRSV prophylaxis, and therapeutic treatment options are severely limited and ineffective, particularly in the infant population. Antibody prophylaxis is restricted to use in populations at high-risk for hospitalization (infants under 35 wk gestational age, infants with chronic lung disease, and infants with congenital heart disease). Aerosol administration of the guanosine analog ribavirin has been approved for the treatment of severe HRSV LRTI in both children and mech. ventilated patients; however, there is still debate over its overall benefit and the risks associated with its use. Current therapy for those hospitalized due to HRSV is supportive. As such, there is great medical need for the development of agents to prevent and treat HRSV infections in all populations. Interestingly, many of the discovered agents against HRSV, both neutralizing antibodies and small mols. inhibitors, target the viral fusion (F) glycoprotein. In particular, three distinct chemical classes as exemplified by JNJ-2408068, VP-14637, and BMS-433771, which appear to block conformational intermediates of the viral fusion protein are reviewed.

IT 317846-22-3, JNJ-2408068
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cold virus fusion or stopping fusion cold - inhibitors of human respiratory syncytial virus F protein)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2-14

L24 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1042075 CAPLUS Full-text

DOCUMENT NUMBER: 143:347207
TITLE: Preparation of RSV replication-inhibiting benzodiazepine derivatives for use in pharmaceutical compositions in combination with RSV fusion protein inhibitors
INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm; Dowdell, Verity; Alber, Dagmar; Henderson, Elisa
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089771	A1	20050929	WO 2005-GB1029	20050318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005224159	A1	20050929	AU 2005-224159	20050318
CA 2557931	A1	20050929	CA 2005-2557931	20050318
EP 1727551	A1	20061206	EP 2005-728747	20050318
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1933841	A	20070321	CN 2005-80008920	20050318
PRIORITY APPLN. INFO.:			GB 2004-6279 A	20040319
			WO 2005-GB1029 W	20050318

OTHER SOURCE(S): MARPAT 143:347207
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

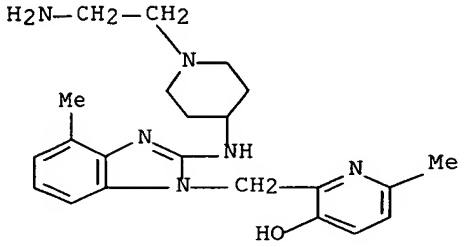
AB The invention is related to a pharmaceutical composition comprising pharmaceutically acceptable carrier or diluent and: (a) an inhibitor of the respiratory syncytial virus (RSV) fusion protein of formula I [X = H, (un)substituted alkyl; Y = hetero/aryl, alkyl, alkoxy, etc.; Z = CH2 and derivs.; R1 = H, CONH2 and derivs., CO2H and derivs., (un)substituted alkyl; R2 = H, NH2, alkenyl, etc.; R3 = H, alkenyl, CO2H, etc.; Q = 1,2-dihydrobenzotriazol-1-yl, 2,3-dihydroindazol-1-yl, etc.]; and (b) a benzodiazepine derivative of formula II [R1 = alkyl, hetero/aryl; R2 = H, alkyl; each R3 = independently halo, OH, alkyl, alkoxy, NH2, CN, etc.; n = 0-3; R4 = H, alkyl; X = CO, SO, SO2, CONH and derivs.; R5 = (un)substituted hetero/aryl, heterocyclyl] capable of inhibiting RSV replication; the composition provides an additive and synergistic therapeutic effect in treating or preventing an RSV infection. The invention is also related to the preparation of benzodiazepines II. Thus, reacting (S)-3-Amino-5-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one with 2-chloro-4-(morpholin-4-yl)benzoic

acid gave (S)-III. The fractional inhibitory concentration (FIC) for benzodiazepine III in combination with benzimidazole IV = 0.3, demonstrating a synergistic interaction.

IT 317846-22-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of RSV replication-inhibiting benzodiazepine derivs. for use in pharmaceutical compns. in combination with RSV fusion protein inhibitors)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:567167 CAPLUS Full-text
DOCUMENT NUMBER: 143:97363
TITLE: Preparation of piperidine-amino-benzimidazole derivatives as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Janssens, Frans Eduard; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058873	A1	20050630	WO 2004-EP53606	20041220

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004298456	A1	20050630	AU 2004-298456	20041220
CA 2548654	A1	20050630	CA 2004-2548654	20041220
EP 1723136	A1	20061122	EP 2004-804942	20041220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LV, MK, YU				
CN 1894239	A	20070110	CN 2004-80037284	20041220
PRIORITY APPLN. INFO.:			EP 2003-104802	A 20031218
			US 2004-566835P	P 20040430
			WO 2004-EP53606	W 20041220

OTHER SOURCE(S): MARPAT 143:97363

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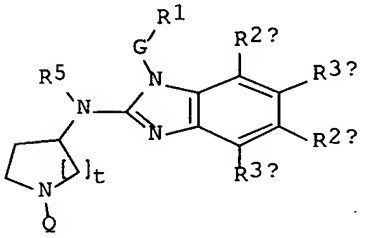

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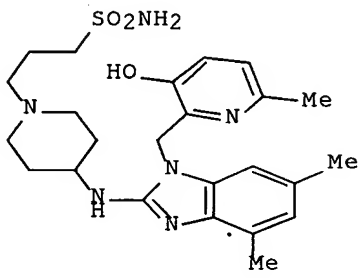


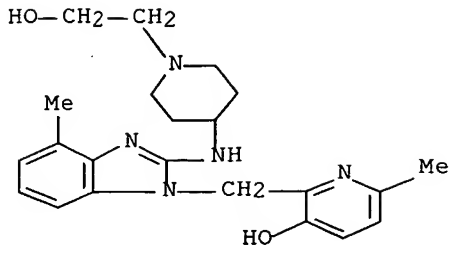
II

AB The title compds. I [Q = alkyl optionally substituted with CF3, cycloalkyl, hydroxy, alkoxy, etc.; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R3a = alkyl and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H or alkyl, and R3b = H; in case R3a is different from hydrogen then R3b = H or alkyl, and R2b = H; t = 1-3; Ar1 = (un)substituted Ph; R5 = H, alkyl; and their prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from 4,5-dimethylbenzimidazol-2-one, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound is disclosed.

IT 856705-85-6P 856706-12-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

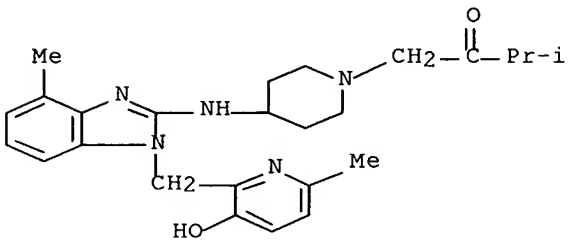
RN 856705-85-6 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxyethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 856706-12-2 CAPLUS

CN 2-Butanone, 1-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



IT 856705-79-8P 856705-80-1P 856705-81-2P
856705-82-3P 856705-84-5P 856705-86-7P
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856705-90-3P 856705-91-4P 856705-92-5P
856705-93-6P 856705-94-7P 856705-95-8P
856705-96-9P 856705-97-0P 856705-98-1P
856705-99-2P 856706-00-8P 856706-01-9P
856706-02-0P 856706-03-1P 856706-04-2P
856706-05-3P 856706-06-4P 856706-07-5P
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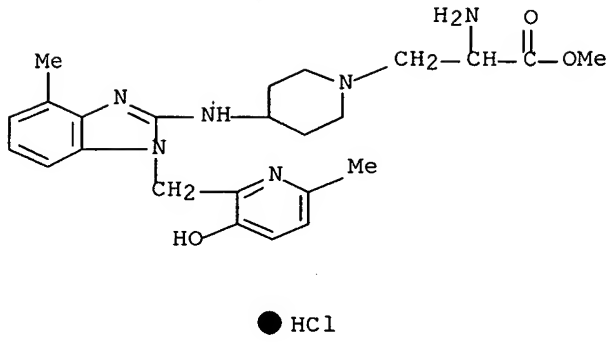
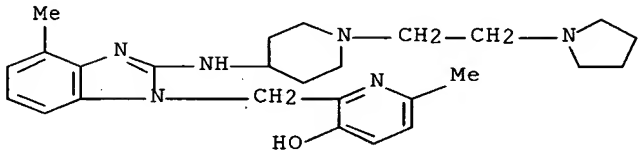
856706-11-1P 856706-13-3P 856706-14-4P
856706-15-5P 856706-16-6P 856706-17-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856705-79-8 CAPLUS

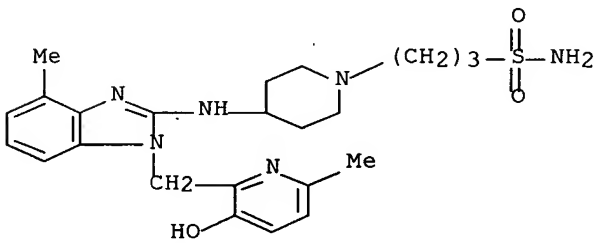
CN 1-Piperidinepropanoic acid, α-amino-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



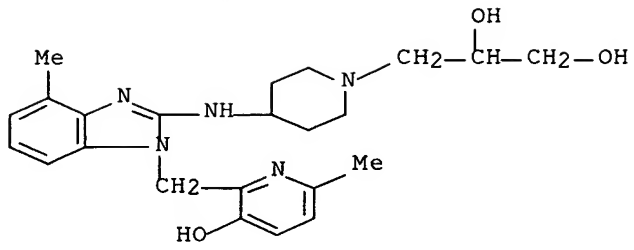
RN 856705-80-1 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(1-pyrrolidinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



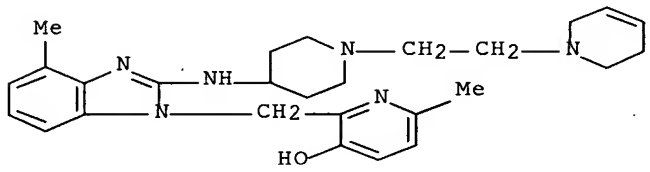
RN 856705-81-2 CAPLUS
CN 1-Piperidinepropanesulfonamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



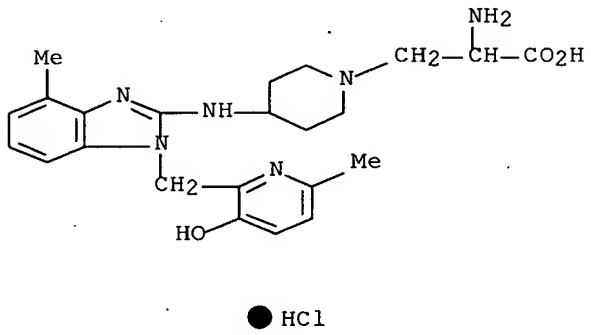
RN 856705-82-3 CAPLUS
CN 1,2-Propanediol, 3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



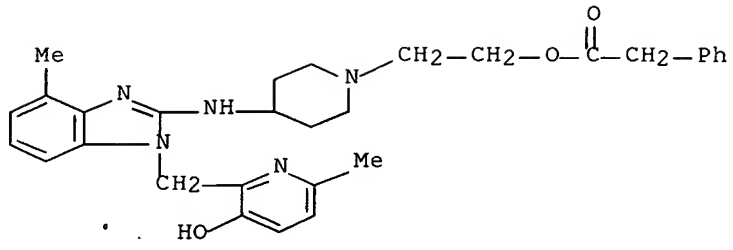
RN 856705-84-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(3,6-dihydro-1(2H)-pyridinyl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



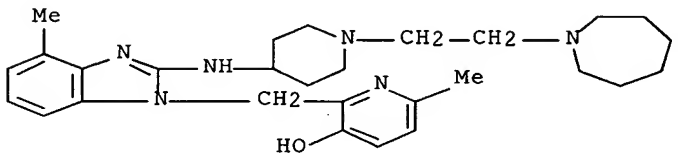
RN 856705-86-7 CAPLUS
CN 1-Piperidinepropanoic acid, α-amino-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



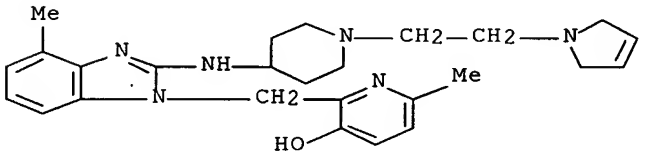
RN 856705-87-8 CAPLUS
CN Benzeneacetic acid, 2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl ester (9CI) (CA INDEX NAME)



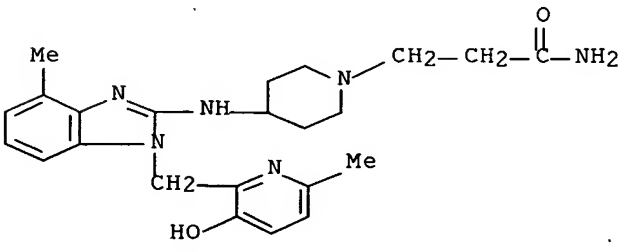
RN 856705-88-9 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(hexahydro-1H-azepin-1-yl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



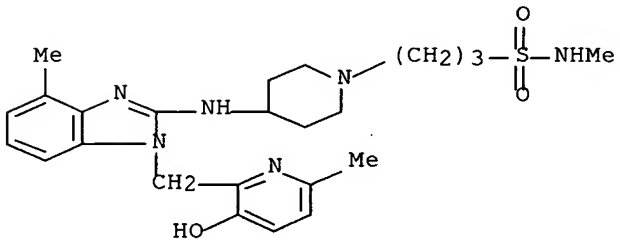
RN 856705-89-0 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(2,5-dihydro-1H-pyrrol-1-yl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



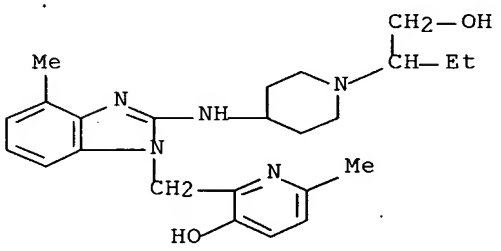
RN 856705-90-3 CAPLUS
CN 1-Piperidinepropanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



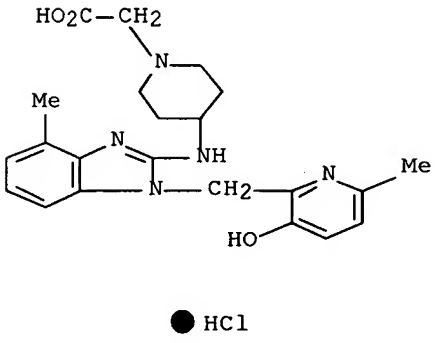
RN 856705-91-4 CAPLUS
CN 1-Piperidinepropanesulfonamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



RN 856705-92-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[1-(hydroxymethyl)propyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



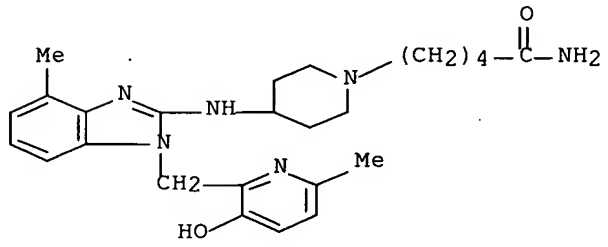
RN 856705-93-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



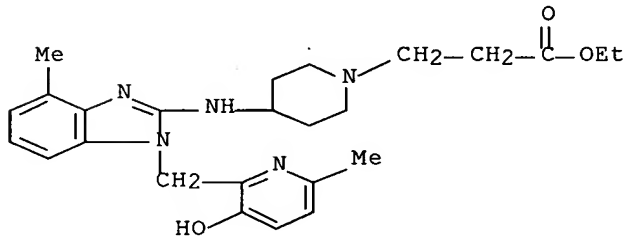
● HCl

RN 856705-94-7 CAPLUS

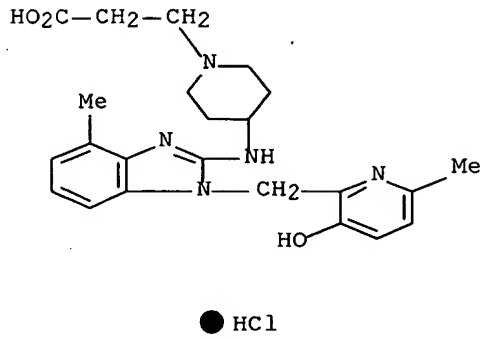
CN 1-Piperidinepentanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 856705-95-8 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

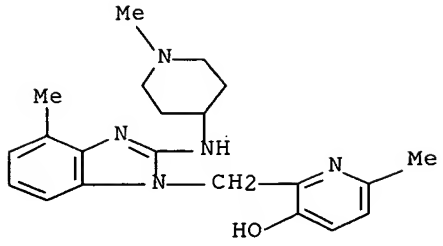


RN 856705-96-9 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

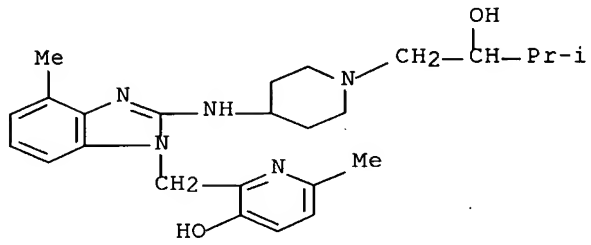


● HCl

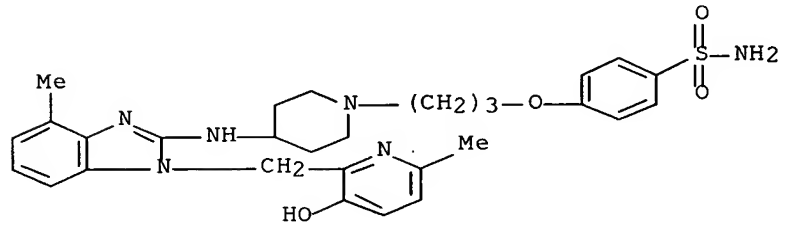
RN 856705-97-0 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



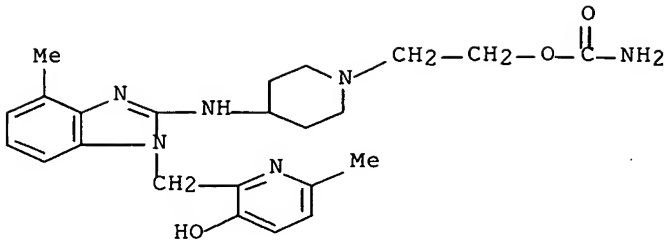
RN 856705-98-1 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



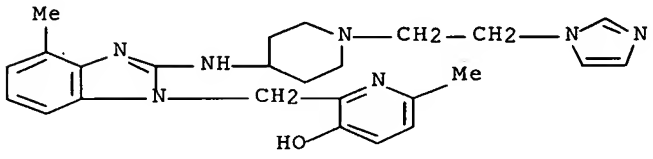
RN 856705-99-2 CAPLUS
CN Benzenesulfonamide, 4-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



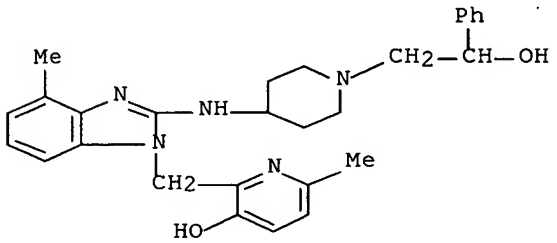
RN 856706-00-8 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-[(aminocarbonyl)oxy]ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



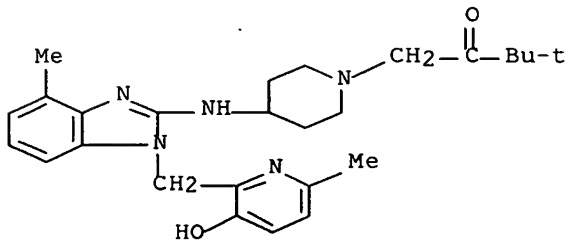
RN 856706-01-9 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(1H-imidazol-1-yl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



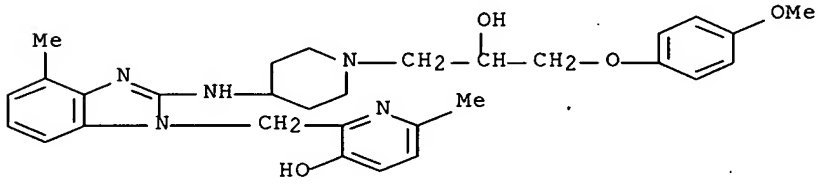
RN 856706-02-0 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



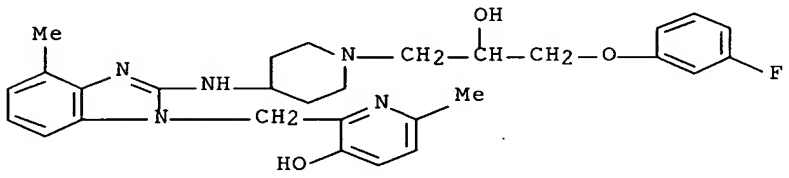
RN 856706-03-1 CAPLUS
CN 2-Butanone, 1-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



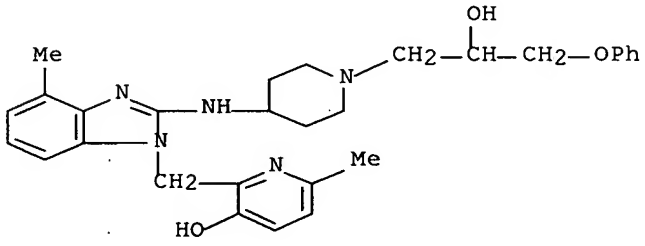
RN 856706-04-2 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-hydroxy-3-(4-methoxyphenoxy)propyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



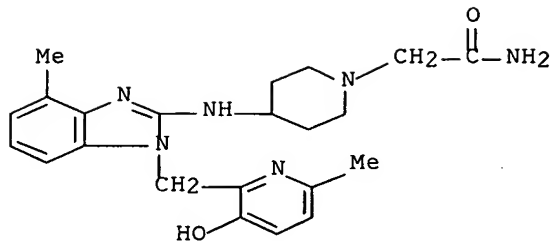
RN 856706-05-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[3-(3-fluorophenoxy)-2-hydroxypropyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



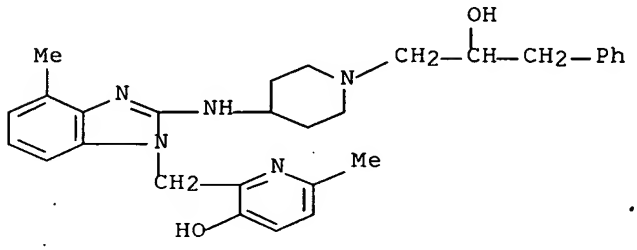
RN 856706-06-4 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-phenoxypropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



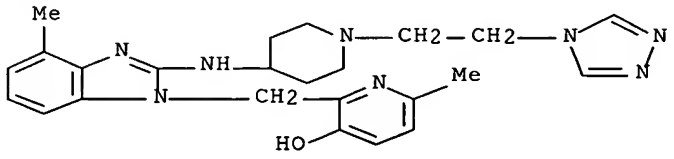
RN 856706-07-5 CAPLUS
CN 1-Piperidineacetamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



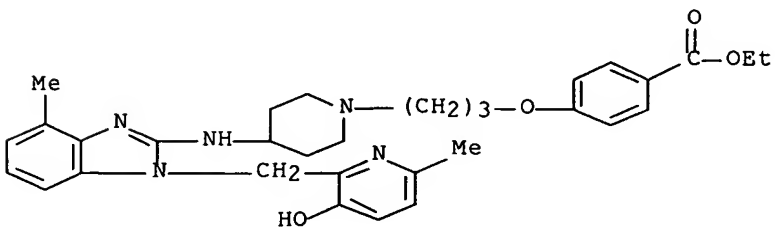
RN 856706-08-6 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-phenylpropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



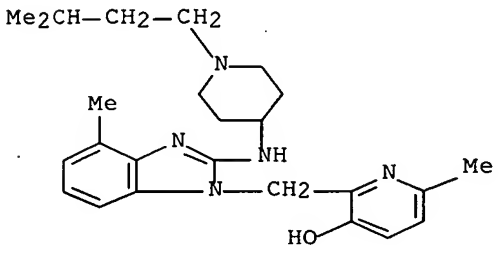
RN 856706-09-7 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(4H-1,2,4-triazol-4-yl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



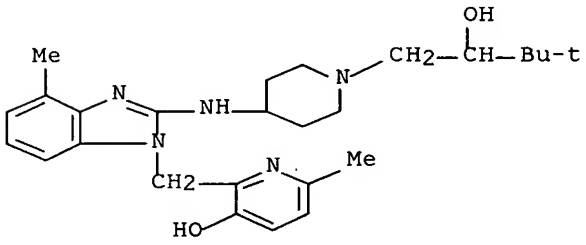
RN 856706-10-0 CAPLUS
CN Benzoic acid, 4-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)



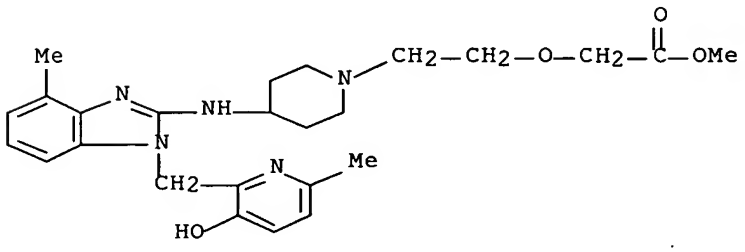
RN 856706-11-1 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-(3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 856706-13-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3,3-dimethylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)

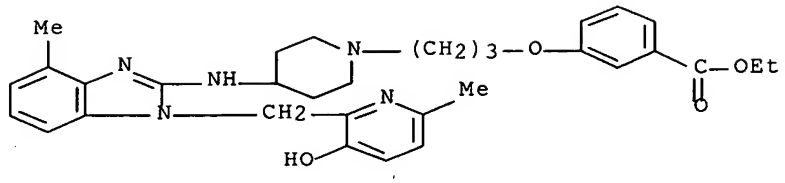


RN 856706-14-4 CAPLUS
CN Acetic acid, [2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)

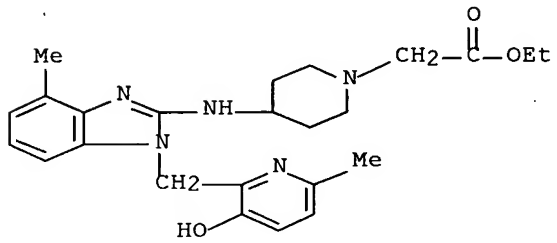


RN 856706-15-5 CAPLUS
CN Benzoic acid, 3-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]-, ethyl ester

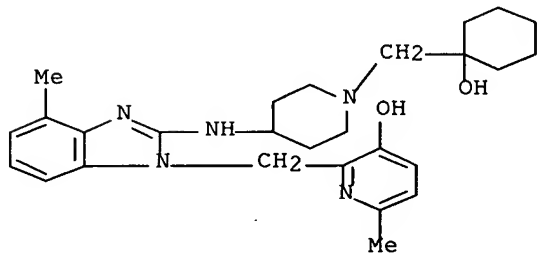
(9CI) (CA INDEX NAME)



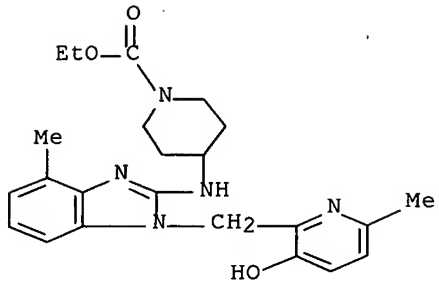
RN 856706-16-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 856706-17-7 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[(1-hydroxycyclohexyl)methyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



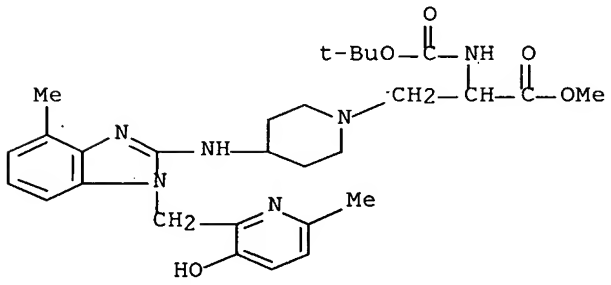
IT 856706-34-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)
RN 856706-34-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT 856706-26-8P 856706-27-9P 856706-29-1P
856706-30-4P 856706-31-5P 856706-32-6P
856706-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

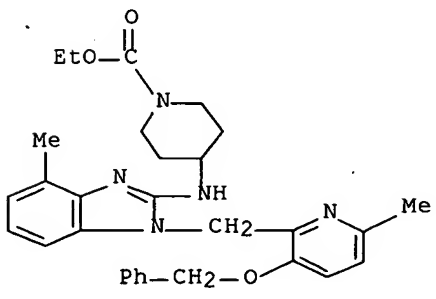
RN 856706-26-8 CAPLUS

CN 1-Piperidinepropanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

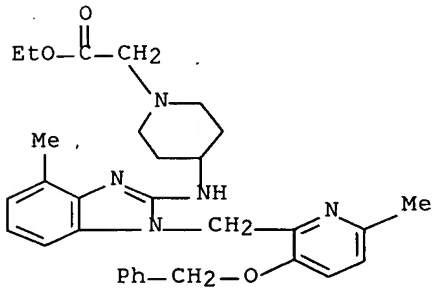


RN 856706-27-9 CAPLUS

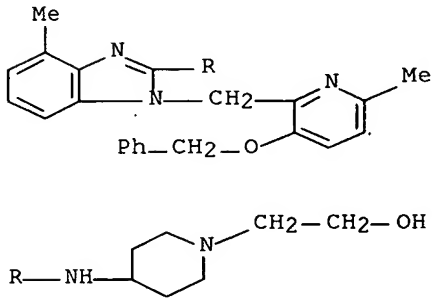
CN 1-Piperidinecarboxylic acid, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



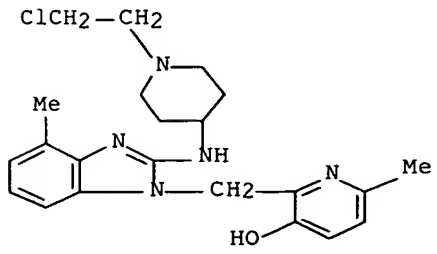
RN 856706-29-1 CAPLUS
CN 1-Piperidineacetic acid, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 856706-30-4 CAPLUS
CN 1-Piperidineethanol, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

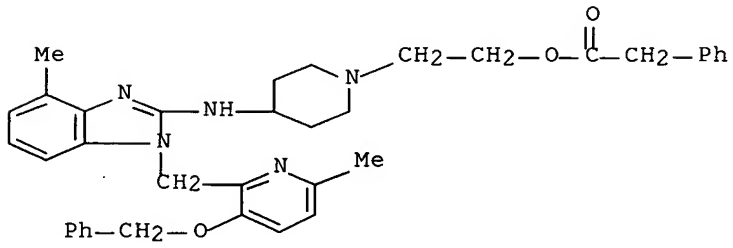


RN 856706-31-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-chloroethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)

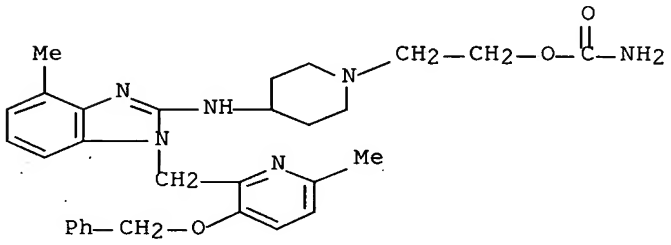


RN 856706-32-6 CAPLUS

CN Benzeneacetic acid, 2-[4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl ester (9CI) (CA INDEX NAME)



RN 856706-33-7 CAPLUS
CN 1-Piperidineethanol, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, carbamate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:564655 CAPLUS Full-text
DOCUMENT NUMBER: 143:97374
TITLE: Preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

morpholinyl

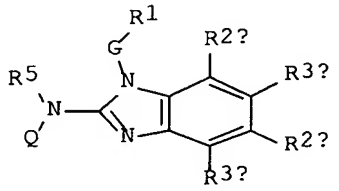
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058871	A1	20050630	WO 2004-EP53620	20041220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

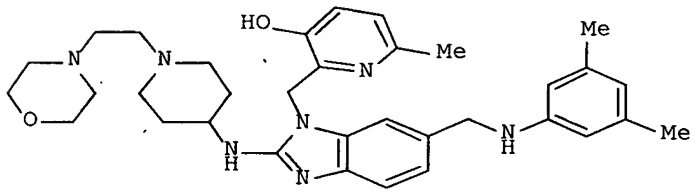
AU 2004298460	A1	20050630	AU 2004-298460		20041220
CA 2548668	A1	20050630	CA 2004-2548668		20041220
EP 1697345	A1	20060906	EP 2004-817576		20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU					
CN 1894237	A	20070110	CN 2004-80037825		20041220
BR 2004017268	A	20070313	BR 2004-17268		20041220
US 2007043022	A1	20070222	US 2006-563691		20060104
NO 2006003322	A	20060918	NO 2006-3322		20060718
PRIORITY APPLN. INFO.:			EP 2003-104810	A	20031218
			US 2004-567182P	P	20040430
			EP 2004-105312	A	20041026
			WO 2004-EP53620	W	20041220

OTHER SOURCE(S): MARPAT 143:97374

GI



I



II

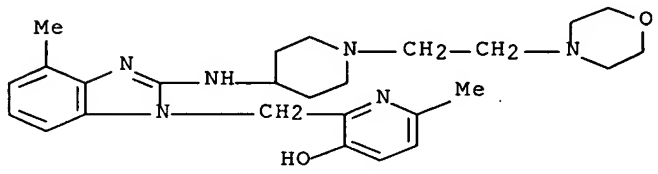
AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; Q = R7, pyrrolidinyl substituted with R7, piperidinyl substituted with R7 or homopiperidinyl substituted with R7; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from H atom then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from H atom then R3b = H, alkyl or halogen and R2b = H; R5 = H, alkyl; Ar1 = (un)substituted Ph; R7 = alkyl substituted with heterocycle or alkyl substituted with both a radical OR8 and a heterocycle; R8 = H, alkyl, Ar1alkyl; or a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form

thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 857068-52-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857068-52-1 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(4-morpholinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:494325 CAPLUS Full-text

DOCUMENT NUMBER: 143:90328

TITLE: Small molecules VP-14637 and JNJ-2408068 inhibit respiratory syncytial virus fusion by similar mechanisms

AUTHOR(S): Douglas, Janet L.; Panis, Marites L.; Ho, Edmund; Lin, Kuei-Ying; Krawczyk, Steve H.; Grant, Deborah M.; Cai, Ruby; Swaminathan, Swami; Chen, Xiaowu; Cihlar, Tomas

CORPORATE SOURCE: Gilead, Foster City, CA, 94404, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2005), 49(6), 2460-2466
CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

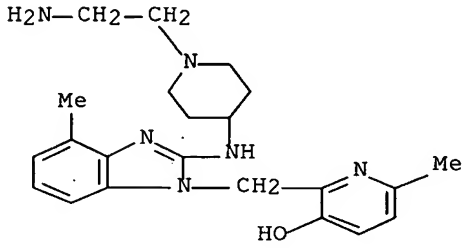
AB Here we present data on the mechanism of action of VP-14637 and JNJ-2408068 (formerly R-170591), two small-mol. inhibitors of respiratory syncytial virus (RSV). Both inhibitors exhibited potent antiviral activity with 50% effective concns. (EC50s) of 1.4 and 2.1 nM, resp. A similar inhibitory effect was observed in a RSV-mediated cell fusion assay (EC50 = 5.4 and 0.9 nM, resp.). Several drug-resistant RSV variants were selected in vitro in the presence of each compound All selected viruses exhibited significant cross-resistance to both inhibitors and contained various single amino acid substitutions in two distinct regions of the viral F protein, the heptad repeat 2 (HR2; mutations D486N, E487D, and F488Y), and the intervening domain between HR1 and HR2 (mutation K399I and T400A). Studies using [3H]VP-14637 revealed a specific binding of the compound to RSV-infected cells that was efficiently inhibited by JNJ-2408068 (50% inhibitory concentration = 2.9 nM) but not by the HR2-derived peptide T-118. Further anal. using a transient T7 vaccinia expression

system indicated that RSV F protein is sufficient for this interaction. F proteins containing either the VP-14637 or JNJ-2408068 resistance mutations exhibited greatly reduced binding of [3H]VP-14637. Mol. modeling anal. suggests that both mols. may bind into a small hydrophobic cavity in the inner core of F protein, interacting simultaneously with both the HR1 and HR2 domains. Altogether, these data indicate that VP-14637 and JNJ-2408068 interfere with RSV fusion through a mechanism involving a similar interaction with the F protein.

IT 317846-22-3, JNJ-2408068
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small mols. VP-14637 and JNJ-2408068 inhibit respiratory syncytial virus fusion by similar mechanisms by binding into a small hydrophobic cavity in the inner core of F protein, interacting simultaneously with both the HR1 and HR2 domains)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:923920 CAPLUS Full-text

DOCUMENT NUMBER: 140:246197

TITLE: Short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from experimental respiratory syncytial virus infection

AUTHOR(S): Wyde, Philip R.; Chetty, Srikrishna N.; Timmerman, Philip; Gilbert, Brian E.; Andries, Koen

CORPORATE SOURCE: Department of Molecular Virology and Microbiology, Baylor College of Medicine, Houston, TX, 77030, USA

SOURCE: Antiviral Research (2003), 60(3), 221-231
CODEN: ARSRDR; ISSN: 0166-3542

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

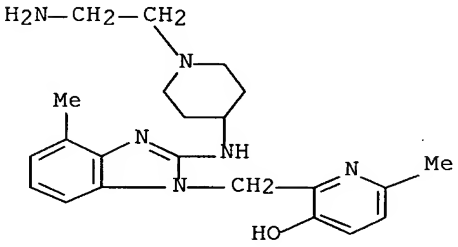
AB Cotton rats exposed to continuous small droplet aerosols of 2[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1- yl]methyl]-6-methyl-3-pyridinol (JNJ 2408068) or its hydrochloric salt for only 15 min, one day prior to virus inoculation or one day after, were significantly protected from pulmonary respiratory syncytial virus (RSV) infection compared to control animals similarly infected but exposed to aerosols of placebo at these times. No evidence of toxicity was seen in any of these animals or in cotton rats administered 10 times the min. cotton rat efficacious dose (i.e. 10+0.39 mg of

active compound per kg of body weight) for four continuous days. The marked selective antiviral activity observed in the cotton rats mirrored that seen for these compds. in cytotoxicity and antiviral assays performed against RSV in vitro. Plasma kinetics and tissue distribution of JNJ 2408068 in cotton rats following inhalation were determined in sep. expts. performed using conditions similar to those utilized in the in vivo efficacy studies. The data from these expts. indicated that significant levels of the test compound were delivered to the lungs of exposed animals, but that extrapulmonary distribution was limited.

IT 317846-22-3, JNJ 2408068
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from exptl. respiratory syncytial virus infection)

RN 317846-22-3 CAPLUS

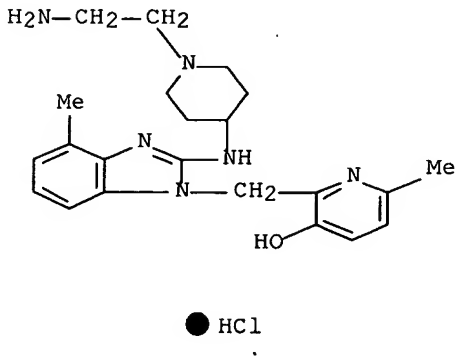
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



IT 669772-70-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from exptl. respiratory syncytial virus infection)

RN 669772-70-7 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



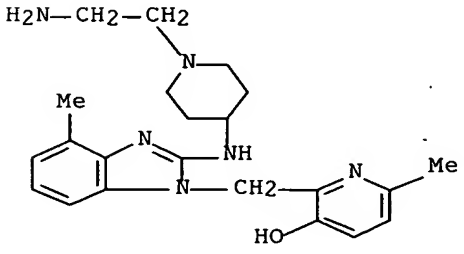
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:923919 CAPLUS Full-text
DOCUMENT NUMBER: 140:296902
TITLE: Substituted benzimidazoles with nanomolar activity against respiratory syncytial virus
AUTHOR(S): Andries, Koen; Moeremans, Marc; Gevers, Tom; Willebrords, Rudy; Sommen, Cois; Lacrampe, Jean; Janssens, Frans; Wyde, Philip R.
CORPORATE SOURCE: Johnson and Johnson Pharmaceutical Research and Development, Beerse, Belg.
SOURCE: Antiviral Research (2003), 60(3), 209-219
CODEN: ARSRDR; ISSN: 0166-3542
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A cell-based assay was used to discover compds. inhibiting respiratory syncytial virus (RSV)-induced fusion in HeLa/M cells. A lead compound was identified and subsequent synthesis of >300 analogs led to the identification of JNJ 2408068 (R170591), a low mol. weight (MW 395) benzimidazole derivative with an EC50 (0.16 nM) against some laboratory strains almost 100,000 times better than that of ribavirin (15 μM). Antiviral activity was confirmed for subgroup A and B clin. isolates of human RSV and for a bovine RSV isolate. The compound did not inhibit the growth of representative viruses from other Paramyxovirus genera, i.e. HPIV2 and Mumps Virus (genus Rubulavirus), HPIV3 (genus Respirovirus), Measles virus (genus Morbillivirus) and hMPV. Efficacy in cytopathic effect inhibition assays correlated well with efficacy in virus yield reduction assays. A concentration of 10 nM reduced RSV production 1000-fold in multi-cycle expts., irresp. of the multiplicity of infection. Time of addition studies pointed to a dual mode of action: inhibition of virus-cell fusion early in the infection cycle and inhibition of cell-cell fusion at the end of the replication cycle. Two resistant mutants were raised and shown to have single point mutations in the F-gene (S398L and D486N). JNJ 2408068 was also shown to inhibit the release of proinflammatory cytokines IL-6, IL-8 and Rantes from RSV-infected A549 cells.

IT 317846-22-3, JNJ 2408068
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substituted benzimidazoles with nanomolar activity against respiratory syncytial virus)

RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



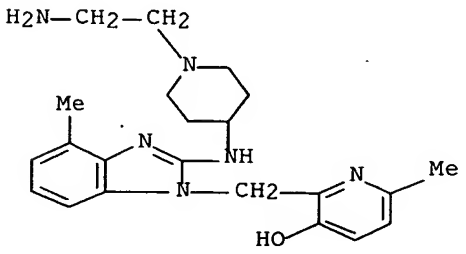
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:742431 CAPLUS Full-text
DOCUMENT NUMBER: 140:192261
TITLE: Comparison of the inhibition of human metapneumovirus and respiratory syncytial virus by ribavirin and immune serum globulin in vitro
AUTHOR(S): Wyde, Philip R.; Chetty, Srikrishna N.; Jewell, Alan M.; Boivin, Guy; Piedra, Pedro A.
CORPORATE SOURCE: Departments of Molecular Virology and Microbiology, Baylor College of Medicine, Houston, TX, 77030, USA
SOURCE: Antiviral Research (2003), 60(1), 51-59
CODEN: ARSRDR; ISSN: 0166-3542
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human metapneumovirus (hMPV) is a newly recognized pathogen that like its better-known relative, human respiratory syncytial virus (hRSV), appears to be ubiquitous and an important cause of respiratory disease in diverse subpopulations. No antivirals or vaccines are currently approved for the treatment or prevention of hMPV infections. However, ribavirin is licensed to treat serious hRSV-induced infections in children and immune globulin designed for i.v. administration (IVIG) and palivizumab (Synagis), a humanized monoclonal antibody preparation, have been utilized as alternatives to vaccines for preventing or reducing the severity of infections caused by this virus. Because both ribavirin and IVIG have broad viral specificities, studies were performed to compare the ability of these two agents to inhibit the replication of hRSV and hMPV in tissue culture-based assays. Two exptl. chemotherapeutic agents (i.e. VP14637 and JNJ2408068) and different antibody prepns. were included in this testing for comparison. Ribavirin and the IVIG utilized were found to have equivalent antiviral activity against hMPV and hRSV. In contrast, except for antisera specifically raised against hMPV, all of the other materials tested had marked activity only against hRSV.

IT 317846-22-3, JNJ 2408068
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of human metapneumovirus vs. respiratory syncytial virus by ribavirin and immune serum globulin in vitro)

RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)

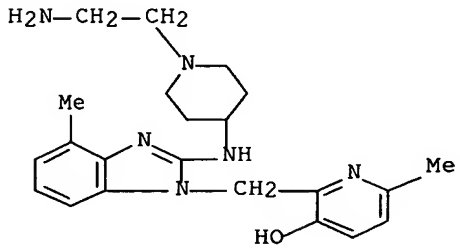


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS

L24 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:495542 CAPLUS Full-text
DOCUMENT NUMBER: 140:56326
TITLE: Structural characterization of respiratory syncytial virus fusion inhibitor escape mutants: homology model of the F protein and a syncytium formation assay
AUTHOR(S): Morton, Craig J.; Cameron, Rachel; Lawrence, Lynne J.; Lin, Bo; Lowe, Melinda; Luttick, Angela; Mason, Anthony; McKimm-Breschkin, Jenny; Parker, Michael W.; Ryan, Jane; Smout, Michael; Sullivan, Jayne; Tucker, Simon P.; Young, Paul R.
CORPORATE SOURCE: Biota Holdings Limited, Victoria, 3004, Australia
SOURCE: Virology (2003), 311(2), 275-288
CODEN: VIRLAX; ISSN: 0042-6822
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Respiratory syncytial virus (RSV) is a ubiquitous human pathogen and the leading cause of lower respiratory tract infections in infants. Infection of cells and subsequent formation of syncytia occur through membrane fusion mediated by the RSV fusion protein (RSV-F). A novel in vitro assay of recombinant RSV-F function has been devised and used to characterize a number of escape mutants for three known inhibitors of RSV-F that have been isolated. Homol. modeling of the RSV-F structure has been carried out on the basis of a chimera derived from the crystal structures of the RSV-F core and a fragment from the orthologous fusion protein from Newcastle disease virus (NDV). The structure correlates well with the appearance of RSV-F in electron micrographs, and the residues identified as contributing to specific binding sites for several monoclonal antibodies are arranged in appropriate solvent-accessible clusters. The positions of the characterized resistance mutants in the model structure identify two promising regions for the design of fusion inhibitors.

IT 317846-22-3, R 170591
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(homol. model of F protein of respiratory syncytial virus fusion inhibitor escape mutants and a syncytium formation assay)
RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:376893 CAPLUS Full-text
DOCUMENT NUMBER: 138:379184
TITLE: Method for identifying or screening anti-viral agents against respiratory syncytial virus (RSV) using a three-dimensional model of the RSV-F protein
INVENTOR(S): Morton, Craig James; Parker, Michael William; Ryan, Jane
PATENT ASSIGNEE(S): Biota Holdings Ltd., Australia
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

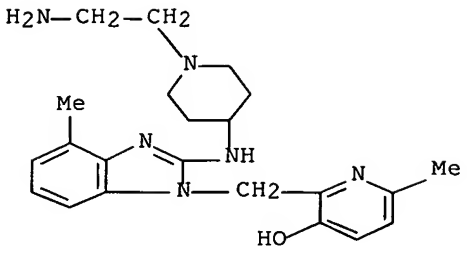
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040178	A1	20030515	WO 2002-AU1522	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005221285	A1	20051006	US 2004-492187	20040409
PRIORITY APPLN. INFO.:			AU 2001-8784 A	20011109
			WO 2002-AU1522 W	20021108

AB The invention relates to anti-viral agents which may be effective for treating, for example, respiratory infections by Respiratory Syncytial Virus (RSV). A three-dimensional structure model of the RSV-F protein has been generated and described which can be used to identify, screen, and/or develop anti-viral agents, including RSV neutralizing antibodies. The three-dimensional structure model comprises, at least, the three-dimensional structure of a anti-viral target site comprising all or part of each of the following amino acids of RSV-F protein: Tyr33, Cys37, Ser38, Ala39, Val40, Ser41, Lys42, Gly43, Leu48, Arg49, Thr50, Lys315, Leu316, His317, Thr318, Ser319, Pro320, Leu321, Cys322, Thr323, Ser330, Asn331, Ile332, Cys333, Leu334, Thr335, Arg336, 20 Thr337, Asp338, Arg339, Phe352, Pro353, Gln354, Ala355, Glu356, Thr357, Cys358, Phe366, Cys367, Asp368, Thr369, Met370, Asn371, Ser372, Leu373, Lys394, Ile395, Met396, Thr397, Ser398, Lys399, Thr400, Asp401, Val402, Ser403, Ser404, Ser405, Val406, Ile407, Thr408, Ser409, Leu410, Gly411, Ala412, Ile413, Val414, Ser415, Lys419, Lys421 and Asp440. The structure model may also be used to develop RSV-binding antibodies useful for diagnostic assays.

IT 317846-22-3
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(RSV-F inhibitor; method for identifying or screening anti-viral agents against respiratory syncytial virus (RSV) using three-dimensional model of RSV-F protein)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12448 CAPLUS Full-text
DOCUMENT NUMBER: 134:86251
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Lacrampe, Jean Fernand Armand; Guillemont, Jerome Emile Georges; Venet, Marc Gaston; Andries, Koenraad Jozef Lodenwijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000615	A1	20010104	WO 2000-EP5677	20000620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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BR 2000011997	A	20020305	BR 2000-11997	20000620
EP 1196410	A1	20020417	EP 2000-936899	20000620
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HU 200201789	A2	20021128	HU 2002-1789	20000620
JP 2003503403	T	20030128	JP 2001-507023	20000620
EE 200100694	A	20030217	EE 2001-694	20000620
EE 4592	B1	20060215		
AT 259796	T	20040315	AT 2000-936899	20000620
EP 1400519	A1	20040324	EP 2003-102464	20000620
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IE, SI, LT, LV, FI, RO, MK, CY, AL

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TR 200500707	T2	20050421	TR 2005-707	20000620
AT 356121	T	20070315	AT 2003-102464	20000620
IN 2001MN01540	A	20050304	IN 2001-MN1540	20011206
HR 2001000934	A1	20030630	HR 2001-934	20011219
ZA 2001010473	A	20030320	ZA 2001-10473	20011220
NO 2001006370	A	20011227	NO 2001-6370	20011227
NO 321599	B1	20060606		
US 7071192	B1	20060704	US 2001-19376	20011227
BG 106288	A	20021031	BG 2002-106288	20020108
HK 1045998	A1	20050603	HK 2002-107623	20021021
US 2006058309	A1	20060316	US 2005-247392	20051011

PRIORITY APPLN. INFO.:

EP 1999-202089	A 19990628
EP 2000-936899	A3 20000620
WO 2000-EP5677	W 20000620
US 2001-19376	A3 20011227

OTHER SOURCE(S): MARPAT 134:86251

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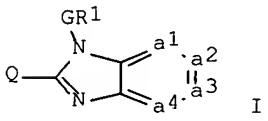

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AB Title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH; CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cycles; A = (substituted) alkylene; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) bicyclic heterocycle; G = bond, (substituted) alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, etc.; R4 = H, alkyl, aralkyl], were prepared Thus, 1-[4-[[1-(2-quinolylmethyl)-1H-benzimidazol-2-yl]amino]- 1-piperidinyl]-3-methyl-2-butanone was hydrogenated with PhCH2NH2 in MeOH over Pd/C to give N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2- quinolylmethyl)-1H-benzimidazol-2-amine and N-[1-(2-amino-3-methylbutyl)-4- piperidinyl]-1-[(1,2,3,4-tetrahydro-2-quinolyl)methyl]-1H-benzimidazol-2- amine tetrahydrochloride. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.0004-1.5849 μM.

IT 317585-54-9P 317585-64-1P 317585-83-4P
317586-02-0P 317586-09-7P 317586-40-6P
317586-45-1P 317586-50-8P 317586-70-2P
317586-82-6P 317586-87-1P 317590-01-5P
317590-05-9P 317590-15-1P 317590-34-4P
317590-38-8P 317590-47-9P 317591-31-4P
317591-68-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317585-54-9 CAPLUS

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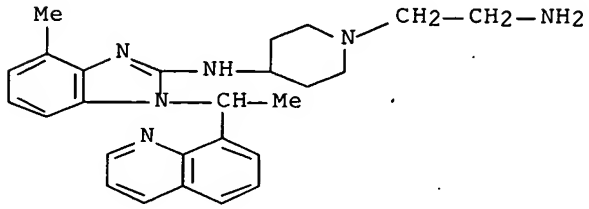
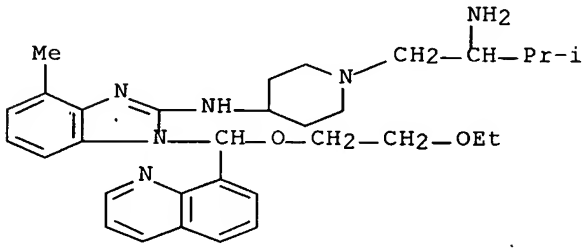
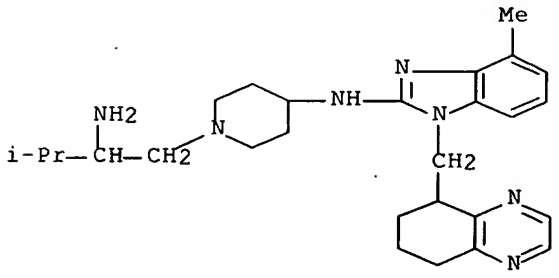


RN 317585-64-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 317585-83-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)



RN 317586-02-0 CAPLUS
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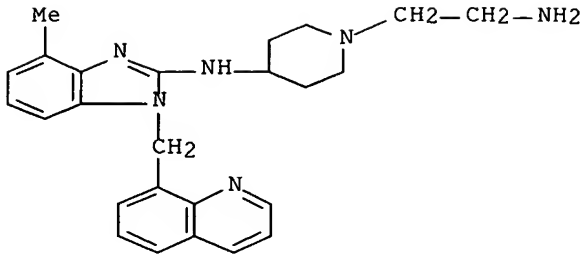
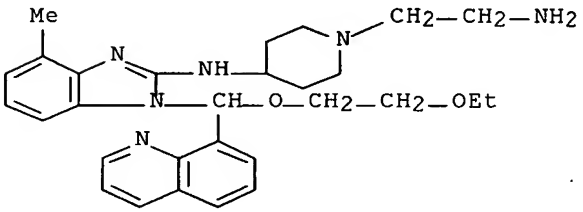
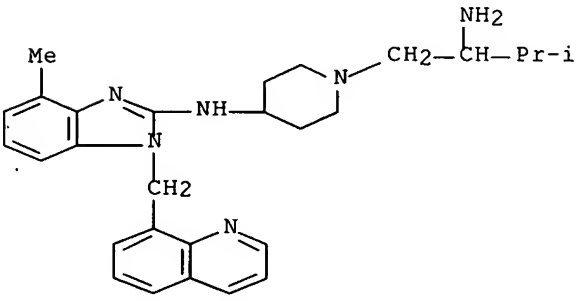


RN 317586-09-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

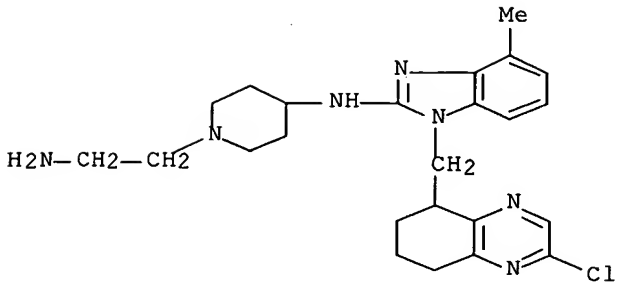


●3 HCl

RN 317586-40-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)

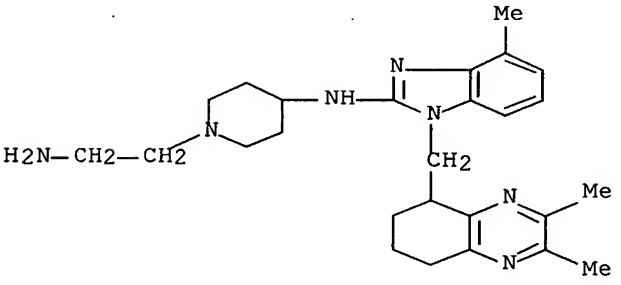


RN 317586-45-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



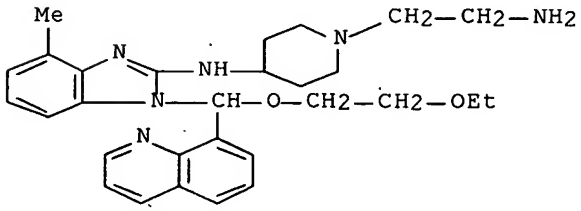
●3 HCl

RN 317586-50-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

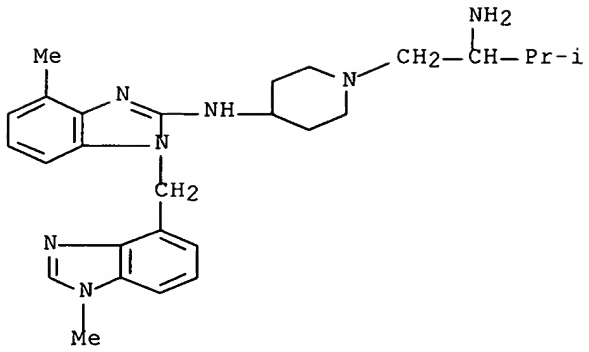


●3 HCl

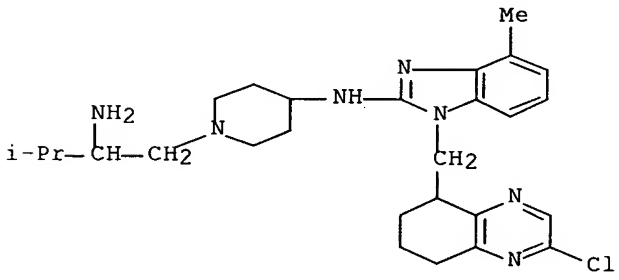
RN 317586-70-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl- (9CI) (CA INDEX NAME)



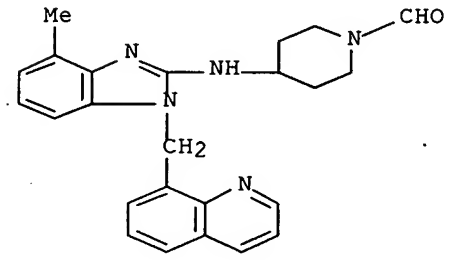
RN 317586-82-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(1-methyl-1H-benzimidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



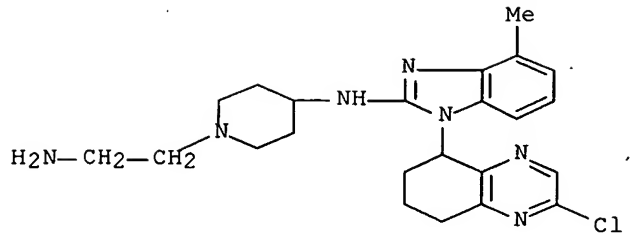
RN 317586-87-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 317590-01-5 CAPLUS
CN 1-Piperidinecarboxaldehyde, 4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

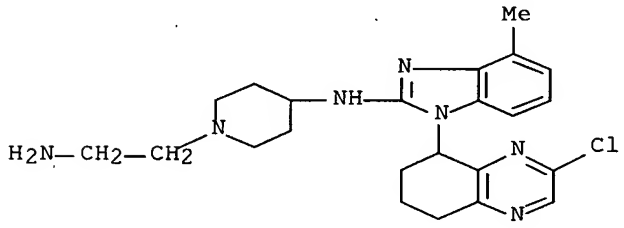


RN 317590-05-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



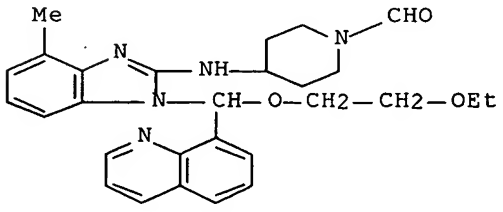
●3 HCl

RN 317590-15-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

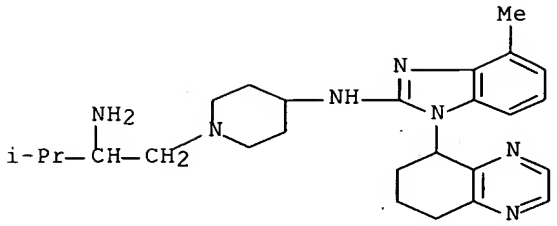


●3 HCl

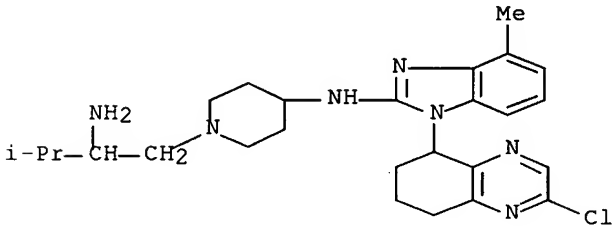
RN 317590-34-4 CAPLUS
CN 1-Piperidinecarboxaldehyde, 4-[[1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



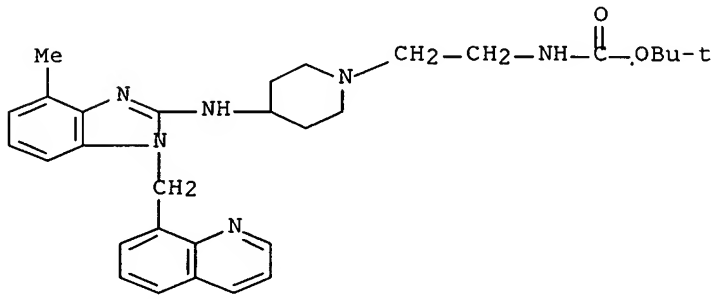
RN 317590-38-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)- (9CI) (CA INDEX NAME)



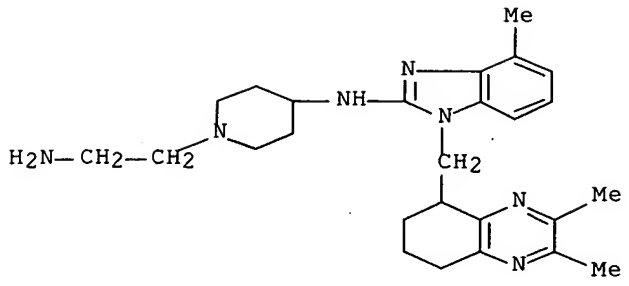
RN 317590-47-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl- (9CI) (CA INDEX NAME)



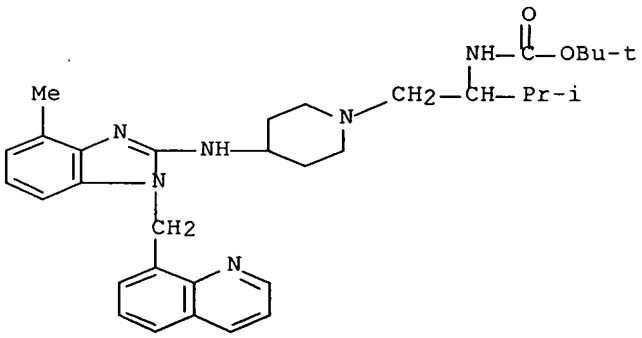
RN 317591-31-4 CAPLUS
CN Carbamic acid, [2-[4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317591-68-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)



IT 317595-82-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317595-82-7 CAPLUS
CN Carbamic acid, [2-methyl-1-[[4-[[4-methyl-1-(8-quinolinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12445 CAPLUS Full-text
DOCUMENT NUMBER: 134:86249
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001000612	A2	20010104	WO 2000-EP5675		20000620
WO 2001000612	A3	20010329			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
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NO 2001006369	A	20020220	NO 2001-6369		20011227
NO 322458	B1	20061009			
US 6747028	B1	20040608	US 2001-19380		20011227
BG 106286	A	20021031	BG 2002-106286		20020108
US 2007015747	A1	20070118	US 2004-817472		20040402
US 7179811	B2	20070220			
PRIORITY APPLN. INFO.:			EP 1999-202088	A	19990628
			WO 2000-EP5675	W	20000620
			US 2001-19380	A3	20011227

OTHER SOURCE(S): MARPAT 134:86249

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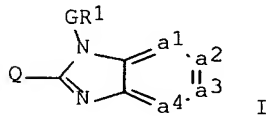


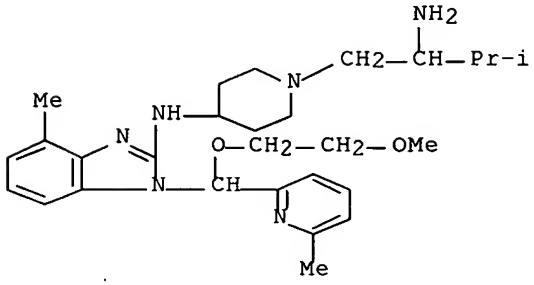
I

AB Title compds. I; [a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cyclyl; A = (substituted) alkanediyl; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) monocyclic heterocyclyl, aryl; R2 = H, formyl, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, cycloalkyl, substituted alkyl; R4 = H, alkyl, aralkyl], were prepared Thus, 1-[ethoxy(2-pyridinyl)methyl]-N-[1- (phenylmethyl)-4-piperidinyl]-1H-benzimidazol-2-amine was hydrogenated in MeOH over Pd/C to give 1-[ethoxy(2-pyridinyl)methyl]-N-(4-

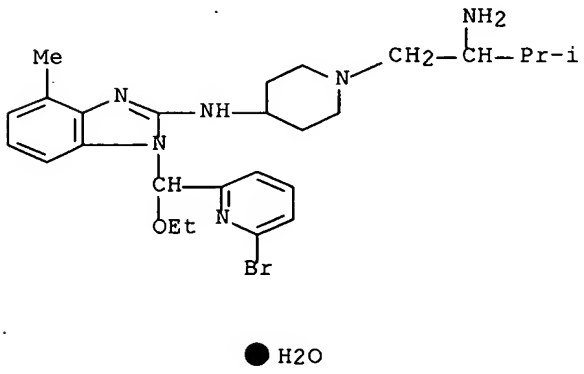
piperidinyl)-1H- benzimidazol-2-amine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00032-1.2589 μM.

IT 317384-48-8P 317384-51-3P 317384-82-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

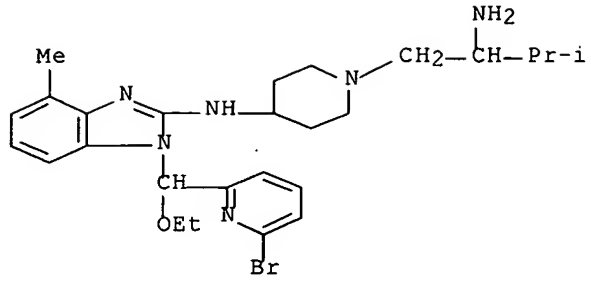
RN 317384-48-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-methoxyethoxy)(6-methyl-2-pyridinyl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 317384-51-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-bromo-2-pyridinyl)ethoxymethyl]-4-methyl-, monohydrate (9CI) (CA INDEX NAME)



RN 317384-82-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-bromo-2-pyridinyl)ethoxymethyl]-4-methyl- (9CI) (CA INDEX NAME)



L24 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12444 CAPLUS Full-text
DOCUMENT NUMBER: 134:86248
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000611	A1	20010104	WO 2000-EP5676	20000620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376781	A1	20010104	CA 2000-2376781	20000620
BR 2000012054	A	20020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	EP 2000-943841	20000620
EP 1196408	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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HU 200201723	A2	20021128	HU 2002-1723	20000620
JP 2003503401	T	20030128	JP 2001-507020	20000620
EE 200100692	A	20030217	EE 2001-692	20000620
EE 4590	B1	20060215		
NZ 515418	A	20031128	NZ 2000-515418	20000620
EP 1418175	A1	20040512	EP 2004-100543	20000620
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AT 276244	T	20041015	AT 2000-943841	20000620

AU 779516	B2	20050127	AU 2000-58167		20000620
PT 1196408	T	20050131	PT 2000-943841		20000620
ES 2228559	T3	20050416	ES 2000-943841		20000620
AP 1552	A	20060228	AP 2002-2397		20000620
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TR 200600172	T1	20070122	TR 2006-172		20000620
TW 248932	B	20060211	TW 2000-89112477		20000626
IN 2001MN01539	A	20050304	IN 2001-MN1539		20011206
HR 2001000933	A1	20030630	HR 2001-933		20011219
ZA 2001010478	A	20030320	ZA 2001-10478		20011220
NO 2001006368	A	20020228	NO 2001-6368		20011227
US 6924287	B1	20050802	US 2001-30202		20011227
BG 106287	A	20021031	BG 2002-106287		20020108
HK 1046141	A1	20060922	HK 2002-107761		20021025
US 2005234047	A1	20051020	US 2005-144103		20050603
US 7173054	B2	20070206			
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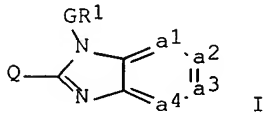
US 7173034	B2	20070206			
US 2006154913	A1	20060713	US 2006-332557		20060112
US 2007021410	A1	20070125	US 2006-519719		20060911
PRIORITY APPLN. INFO.:			EP 1999-202087	A	19990628
			EP 2000-200452	A	20000211
			EP 2000-943841	A3	20000620
			WO 2000-EP5676	W	20000620
			US 2001-30202	A3	20011227
			US 2005-144103	A3	20050603

OTHER SOURCE(S): MARPAT 134:86248

GI



I

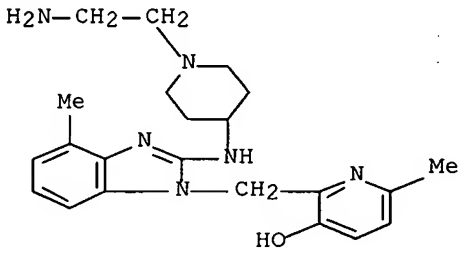
AB Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2- yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 μM.

IT 317846-21-2P 317846-23-4P 317846-24-5P
317846-25-6P 317846-26-7P 317846-27-8P
317846-41-6P 317847-12-4P 317847-13-5P
317847-17-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-21-2 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 317846-23-4 CAPLUS

CN Butanedioic acid, compd. with 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol (1:1) (9CI) (CA INDEX NAME)

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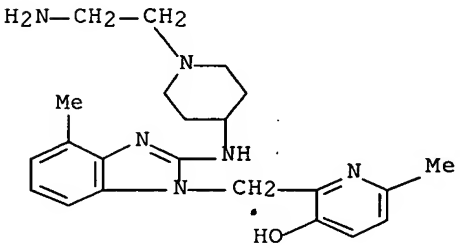
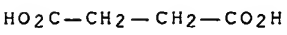
CRN 317846-22-3
CMF C22 H30 N6 O



CM 2

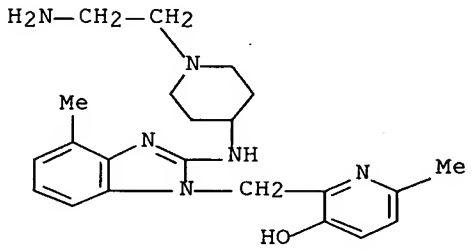
CRN 110-15-6
CMF C4 H6 O4



RN 317846-24-5 CAPLUS
CN Butanedioic acid, hydroxy-, compd. with 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol (1:1) (9CI) (CA INDEX NAME)

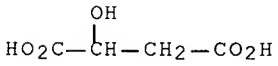
CM 1

CRN 317846-22-3
CMF C22 H30 N6 O

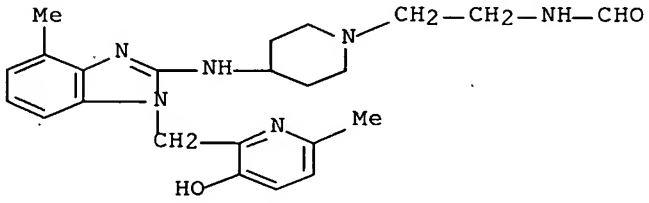


CM 2

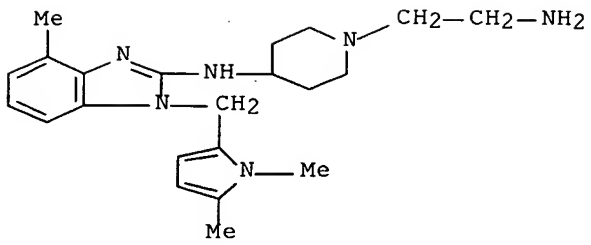
CRN 6915-15-7
CMF C4 H6 O5



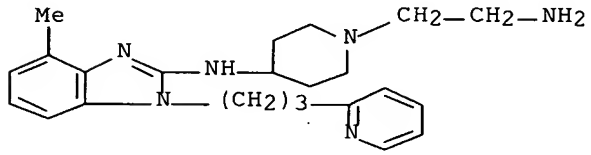
RN 317846-25-6 CAPLUS
CN Formamide, N-[2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 317846-26-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(1,5-dimethyl-1H-pyrrol-2-yl)methyl]-4-methyl- (9CI) (CA INDEX NAME)

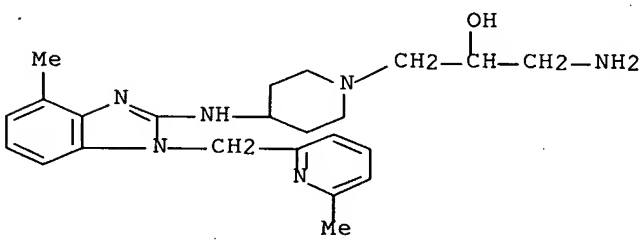


RN 317846-27-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[3-(2-pyridinyl)propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

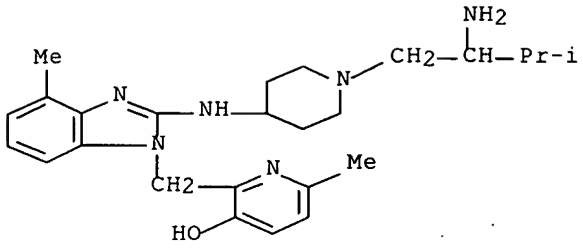


●4 HCl

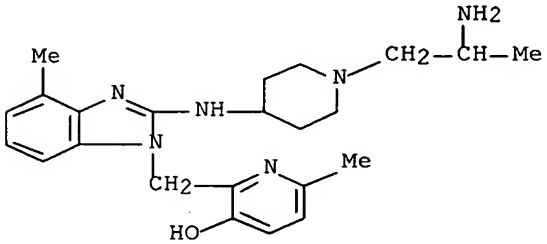
RN 317846-41-6 CAPLUS
CN 1-Piperidineethanol, α-(aminomethyl)-4-[[4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 317847-12-4 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



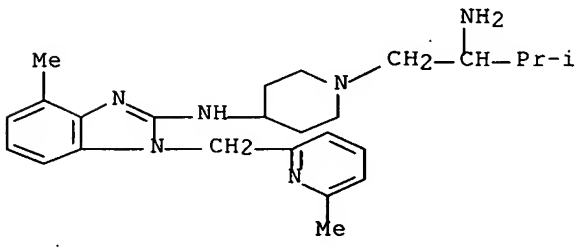
RN 317847-13-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)



●4 HCl

●3 H_2O

RN 317847-17-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

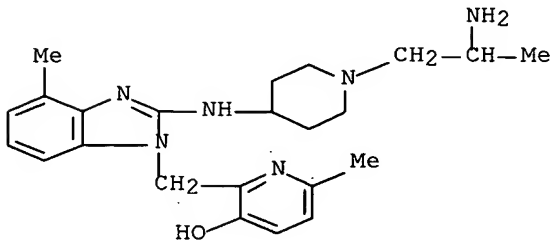


IT 317847-86-2 317847-89-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication

inhibitors)

RN 317847-86-2 CAPLUS

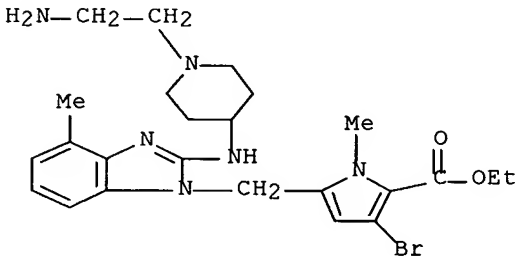
CN 3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 317847-89-5 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-3-bromo-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



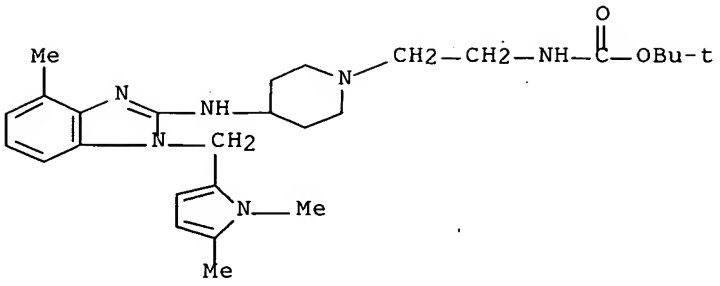
IT 317847-75-9 317847-76-0

RL: RCT (Reactant); RACT (Reactant or reagent)

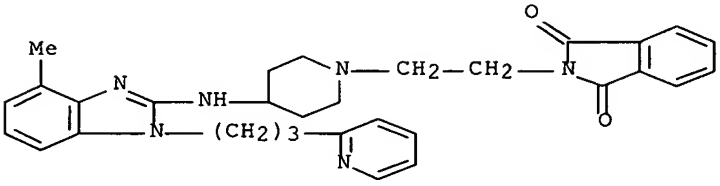
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-75-9 CAPLUS

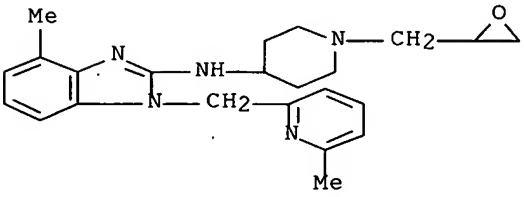
CN Carbamic acid, [2-[4-[[1-[(1,5-dimethyl-1H-pyrrol-2-yl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-76-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[4-[[4-methyl-1-[3-(2-pyridinyl)propyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



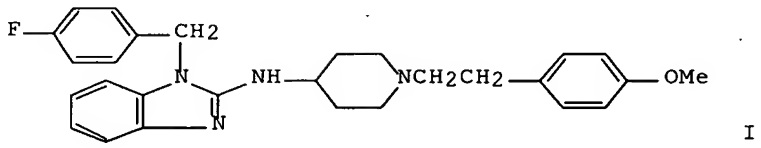
IT 317847-56-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317847-56-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-N-[1-(oxiranylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:432761 CAPLUS Full-text
DOCUMENT NUMBER: 101:32761
TITLE: The pharmacokinetics and metabolism of astemizole in man
AUTHOR(S): Heykants, J.

CORPORATE SOURCE: Dep. Drug Metab. Pharmacokinet., Janssen Pharm., Beerse, Belg.
SOURCE: Medicine Publishing Foundation Symposium Series (1984), 11(Astemizole: New Non-Sedat. Long-Acting H1-Antagonist), 25-34
CODEN: MPFSDF; ISSN: 0260-0242
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Astemizole (I) [68844-77-9] is rapidly and completely absorbed after oral administration to humans. Plasma levels of unchanged astemizole are low after single and chronic dosing, due to extensive 1st-pass metabolism and considerable distribution to the tissues. The low plasma I levels, however, are partly compensated for by the formation of pharmacol. active metabolites that are slowly eliminated from the body. In spite of the long half-life of astemizole and its metabolites in humans, the pharmacokinetics are linear after single and chronic dosing, indicating that there is no saturation of the 1st-pass metabolism or of other processes involved in the elimination of drug from the body. The bioavailability of I from 2 formulations was also studied.

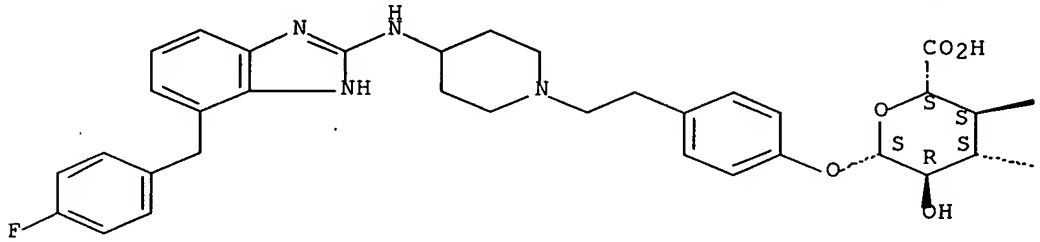
IT 90836-16-1
RL: FORM (Formation, nonpreparative)
(formation of, as astemizole metabolite, in humans)

RN 90836-16-1 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[2-[4-[[4-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



=> fil stng

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	76.13	763.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.92	-10.92

FILE 'STNGUIDE' ENTERED AT 18:45:01 ON 04 APR 2007
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FULL ESTIMATED COST	0.48	763.93

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FULL ESTIMATED COST	0.30	764.23

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